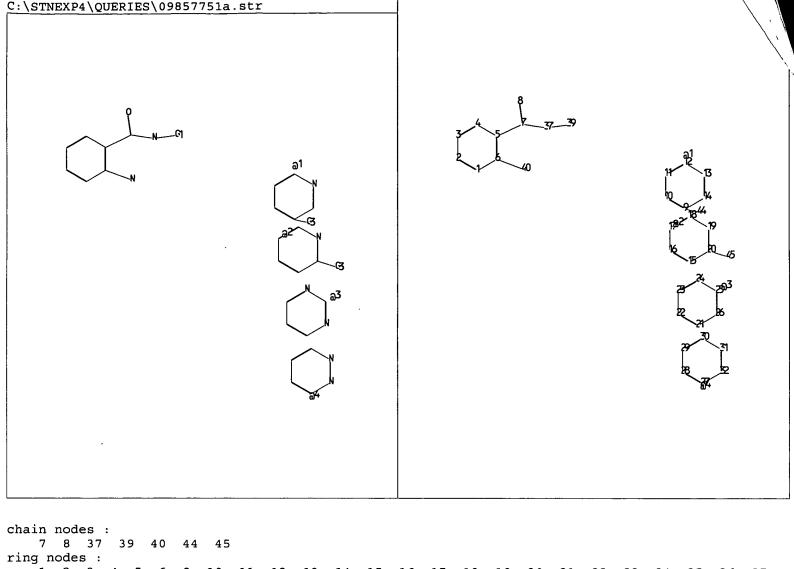
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L5
L6
             13 S L5
L7
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L8
            200 S L7 SSS FULL
L9
     FILE 'CAPLUS' ENTERED AT 12:18:32 ON 09 DEC 2002
L10
             53 S L9
             33 S L10 AND PATENT/DT
L11
              1 S L11 AND XA
L12
L13
              1 S L10 AND ANTITHROMBOTIC
     FILE 'STNGUIDE' ENTERED AT 12:21:30 ON 09 DEC 2002
     FILE 'REGISTRY' ENTERED AT 12:33:53 ON 09 DEC 2002
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L14
              1 S L14 SUB=L9 SAMPLE
8 S L14 SSS FULL SUB=L9
L15
L16
     FILE 'CAPLUS' ENTERED AT 12:36:19 ON 09 DEC 2002
L17
              2 S L16
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L18
                STRUCTURE UPLOADED
L19
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L20 .
               STRUCTURE UPLOADED
             50 S L20
L21
              1 S L20 SUB=L9 SAMPLE
L22
L23
               STRUCTURE UPLOADED
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L25
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L26
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              5 S L26 NOT L17
L27
                E BEIGHT D/IN
L28
             40 S E4-E5
=> s 110 and 128
             1 L10 AND L28
L29
=> s 129 not 126
L30
            0 L29 NOT L26
=>
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```
1 2 3 4 5 6 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27
   28 29 30 31 32
chain bonds :
   5-7 6-40 7-8 7-37 9-44 20-45 37-39
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20 16-17
   17-18 18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29
   30-31 31-32
exact/norm bonds :
   6-40 7-8 7-37 9-44 20-45 37-39
exact bonds :
   5-7
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20 16-17
   17-18 18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29 29-30
   30-31 31-32
isolated ring systems :
   containing 1 : 9 : 15 : 21 : 27 :
G1: [*1], [*2], [*3], [*4]
G3:CH3, MeO, C1, F, S
G4:C,O,N
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom

Match level :

22:Atom

```
<u>à</u>1
                                                     ¢4<mark>6</mark>0-1_47
chain nodes :
   7 8 37 39 40 43 44 46 47 50 51 52 56
ring nodes :
   1 2 3 4 5 6 9
                      10 11 12 13 14 15 16
                                               17 18
                                                      19 20 21 22 23 24 25 26 27
   28 29 30 31 32
chain bonds :
   5-7 6-40 7-8 7-37 9-56 20-57 37-39 43-44
                                               44-46
                                                     46-47 50-51 51-52
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14
                                         10-11
                                               11-12
                                                     12-13
                                                           13-14
                                                                  15-16 15-20 16-17
   17-18 18-19 19-20 21-22 21-26 22-23
                                         23-24
                                               24-25
                                                     25-26 27-28
                                                                  27-32 28-29 29-30
   30-31 31-32
exact/norm bonds :
   6-40 7-8 7-37 9-56 20-57 37-39 43-44 44-46 46-47 50-51 51-52
exact bonds :
   5-7
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20 16-17
   17-18 18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29 29-30
   30-31 31-32
```

C:\STNEXP4\QUERIES\09857751.str

isolated ring systems :

G1: [*1], [*2], [*3], [*4]

G3:CH3,MeO,Cl,F,S

G4:C,O,N

G5: [*5], [*6]

Match level :

containing 1 : 9 : 15 : 21 : 27 :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 37:CLASS 39:CLASS 40:CLASS 43:CLASS 44:CLASS 46:CLASS 47:Atom 50:CLASS 51:CLASS 52:Atom 56:CLASS 57:CLASS

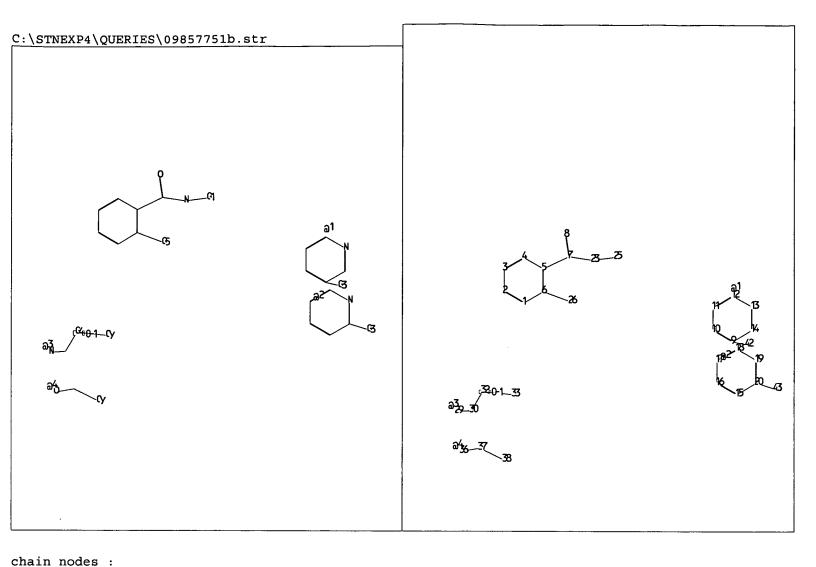
Generic attributes :

47:

Number of Carbon Atoms : less than 7 Number of Hetero Atoms : less than 2 Type of Ring System : Monocyclic

52:

Number of Carbon Atoms : less than 7 Number of Hetero Atoms : less than 2 Type of Ring System : Monocyclic



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7 8 23 25 26 29
                        30 32 33
                                     36
                                        37 38
ring nodes :
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                                                   17 18
chain bonds :
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                                                    30-32 32-33 36-37 37-38
ring bonds :
    1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20 16-17
    17-18 18-19 19-20
exact/norm bonds :
    6-26 \quad 7-8 \quad 7-23 \quad 9-42 \quad 20-43 \quad 23-25 \quad 29-30 \quad 30-32 \quad 32-33 \quad 36-37 \quad 37-38
exact bonds :
    5-7
normalized bonds :
    1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20 16-17
    17-18 18-19 19-20
isolated ring systems :
    containing 1 : 9 : 15 :
G1:[*1],[*2]
G3:CH3,MeO,Cl,F,S
G4:C,O,N
G5:[*3],[*4]
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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 23:CLASS 25:CLASS 26:CLASS 29:CLASS 30:CLASS 32:CLASS 33:Atom 36:CLASS 37:CLASS 38:Atom

Match level :

42:CLASS

43:CLASS

Generic attributes :

33:

Number of Carbon Atoms : less than 7 Number of Hetero Atoms : less than 2 Type of Ring System : Monocyclic 38:

Number of Carbon Atoms : less than 7 Number of Hetero Atoms : less than 2 Type of Ring System : Monocyclic

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=> d 1-2 bib abs hitstr
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MARPAT 133:89437

OS GI

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ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS
     2000:457059 CAPLUS
DN
     133:89437
     Preparation of heteroaryl-substituted aromatic amides as factor Xa
TI
     inhibitors
     Beight, Douglas Wade; Craft, Trelia Joyce; Denny, Carl Penman;
     Franciskovich, Jeffry Bernard; Goodson, Theodore, Jr.; Hall, Steven
     Edward; Herron, David Kent; Joseph, Sajan Pariyadan; Klimkowski, Valentine
     Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Pineiro-Nunez,
     Marta Maria; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald
     Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert; Yee, Ying Kwong
PA
     Eli Lilly and Co., USA; Kyle, Jeffrey, Alan; et al.
     PCT Int. Appl., 403 pp.
so
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                            APPLICATION NO. DATE
                      ____
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PΙ
     WO 2000039118
                       A1
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                                            WO 1999-US29946 19991215
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             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
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                       A1 20011010
     EP 1140903
                                            EP 1999-964279 19991215
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002533454
                       T2
                             20021008
                                             JP 2000-591029 19991215
PRAI US 1998-113556P
                       Р
                             19981223
     WO 1999-US29946
                       W
                             19991215
```

The title compds. [I; A3-A6, together with the two carbons to which they are attached, complete a substituted benzene in which A3 = CR3, A4 = CR4, A5 = CR5, and A6 = CR6 (wherein R3 = H, Me, MeO, etc.; one of R4 and R5 = H, alkyl, halo, etc.; the other of R4 and R5 = H; R6 = H, Me, F, etc.); L1 = CONH; Q1 = 2-pyridinyl (un) substituted at the 5-position, 3-pyridinyl (un) substituted at the 6-position, 2-pyrimidinyl (un) substituted at the 5-position, etc.; R2 = L2Q2 (L2 = NHCO, NHCH2, OCH2, etc.; Q2 = (un) substituted piperidinyl, piperazinyl, Ph, etc.)] and their pharmaceutically acceptable salts, useful as inhibitors of factor Xa (no data), were prepd. and formulated. E.g., a multi-step synthesis of II.HCl was given. In general, compds. I are effective at 0.01-1000 mg/kg/day. IT 280768-70-9P 280768-71-0P 280771-11-1P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heteroaryl-substituted arom. amides as factor Xa inhibitors) 280768-70-9 CAPLUS RN CN 4-Piperidinecarboxamide, N-[2-[[(5-chloro-2-pyrimidinyl)amino]carbonyl]phe nyl]-1-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280768-71-0 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-[[(6-chloro-3-pyridazinyl)amino]carbonyl]phe nyl]-1-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280771-11-1 CAPLUS

CN 4-Piperidinecarboxamide, N-[4-chloro-2-[[(6-chloro-3-pyridazinyl)amino]carbonyl]phenyl]-1-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

IT 280773-28-6P 280773-30-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heteroaryl-substituted arom. amides as factor Xa inhibitors) 280773-28-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[4-chloro-2-[[(6-chloro-3-

pyridazinyl)amino]carbonyl]phenyl]amino]carbonyl]-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)

RN 280773-30-0 CAPLUS

CN 4-Piperidinecarboxamide, N-[4-chloro-2-[[(6-chloro-3-pyridazinyl)amino]carbonyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

RN

CRN 280773-29-7 CMF C17 H17 Cl2 N5 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L17 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2002 ACS
     2000:335387 CAPLUS
AΝ
DN
     132:334364
ΤI
     Preparation of anthranilic acid amides as vascular endothelial growth
     factor receptor inhibitors.
IN
     Huth, Andreas; Seidelmann, Dieter; Thierauch, Karl-Heinz; Bold, Guido;
     Manley, Paul William; Furet, Pascal; Wood, Jeanette Marjorie; Mestan,
     Jurgen; Bruggen, Jose; Ferrari, Stefano; Kruger, Martin; Ottow, Eckhard;
     Menrad, Andreas; Schirner, Michael
PΑ
     Schering Aktiengesellschaft, Germany; Novartis Aktiengesellschaft
SO
     PCT Int. Appl., 96 pp.
     CODEN: PIXXD2
DT
     Patent
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LA German FAN.CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE ΡI WO 2000027819 A2 20000518 WO 1999-EP8478 19991109 WO 2000027819 A3 20000817 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG DE 19910396 A1 20000907 DE 1999-19910396 19990303 DE 19910396 C2 20011213 BR 9915553 20010814 Α BR 1999-15553 19991109 EP 1999-953967 19991109 EP 1129074 A2 20010905 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2002529452 T2 20020910 JP 2000-580999 19991109 NO 2001002245 Α 20010710 NO 2001-2245 20010507 PRAI GB 1998-24579 Α 19981110 DE 1999-19910396 19990303

WO 1999-EP8478 OS MARPAT 132:334364

W

19991109

GI

AB Title compds. [I; A = NR2; W = O, S, H2, NR8; Z = NR10, N, NR10(CH2)q,
 alkyl, etc.; q = 1-6; AZR1 = tetrahydroisoquinolinyl, indazolyl,
 5-chloroindolyl, etc.; R1 = (substituted) aryl, heteroaryl; R2 = H, alkyl;
 R3 = (substituted) mono- or bicyclic aryl, heteroaryl; R4-R7 = H, halo,
 (substituted) alkoxy, alkyl, carboxyalkyl; R5R6 = dioxetanyl; R8, R10 = H,
 alkyl]. Thus, Me N-(4-pyridylmethyl)anthranilate (prepn. given) was
 stirred with Ph(CH2)3NH2 and Me3Al were stirred in PhMe to give
 N-(3-phenylprop-1-yl)-N2-(4-pyridylmethyl)anthranilamide. The latter
 inhibited VEGFR I with IC50 = 0.05 .mu.M.
IT 267891-24-7P

267891-24-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of anthranilic acid amides as VEGF receptor inhibitors) 267891-24-7 CAPLUS

RN 267891-24-7 CAPLUS
CN Benzamide, N-(5-chloro-2-pyrimidinyl)-2-[(4-pyridinylmethyl)amino]- (9CI)
(CA INDEX NAME)

=> d 1-5 bib abs hitstr

- L27 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS
- AN 2001:811405 CAPLUS
- DN 136:144665
- TI Substituted amides and hydrazides of dicarboxylic acids. Part 9.
 Pharmacological activity of the products of interaction of
 2-aminopyridines and 2-aminopyrimidine with dicarboxylic acid anhydrides
- AU Kolotova, N. V.; Koz'minykh, V. O.; Dolzhenko, A. V.; Koz'minykh, E. N.; Kotegov, V. P.; Godina, A. T.; Syropyatov, B. Ya.; Novoselova, G. N.
- CS State Pharmaceutical Academy, Perm, Russia
- SO Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2001), 35(3), 146-150 CODEN: PCJOAU; ISSN: 0091-150X
- PB Kluwer Academic/Consultants Bureau
- DT Journal
- LA English
- AB The interaction of 2-aminopyridine, 2-amino-5-bromopyridine, and 2-amino-4-picoline with citraconic anhydride was studied. The reaction proceeded in Et acetate at room temp. and was accompanied by instantaneous crystn. of the products. The 1H NMR spectra of the reaction products contained a clear signal due to diastereotopic geminal protons of the 3-CH2 methylene group, representing two doublets of the AB-system in the regions of 2.89-2.97 and 3.21-3.27 ppm. This indicated the formation of 4-methyl-2-oxo-3,4-dihydropyridol[1,2-a]pyrimidine-4-carboxylic acids rather than pyridylamides of citraconic acid. The presence of the characteristic signal due to the 3-CH2 group allowed the rejection of the possible isomer structure of 3-methyl-2-oxo-3,4-dihydropyridol[1,2-a]pyrimidine-4-carboxylic acids.
- IT 393802-70-5P
 - RL: SPN (Synthetic preparation); PREP (Preparation)
 - (pharmacol. activity of products of interaction of 2-aminopyridines and 2-aminopyrimidine with dicarboxylic acid anhydrides)
- RN 393802-70-5 CAPLUS
- CN Benzoic acid, 3-nitro-2-[(2-pyrimidinylamino)carbonyl]- (9CI) (CA INDEX NAME)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L27 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS
- AN 1999:768118 CAPLUS
- DN 132:92965
- TI Electron ionization mass spectrometric studies of 1,2-dihydro-2-[2'-pyridyl, 4'-pyridyl and 2',6'-pyrimidyl)-3H-indazol-3-ones
- AU Raza, Abdul R.; Rama, Nasim H.; Rehman, I.
- CS Department of Chemistry, Quaid-i-Azam University, Islamabad, 45320, Pak.
- SO Journal of the Chemical Society of Pakistan (1999), 21(1), 65-68 CODEN: JCSPDF; ISSN: 0253-5106
- PB Chemical Society of Pakistan
- DT Journal
- LA English
- AB Electron-ionization mass spectra (EIMS) of 1,2-dihydro-2-(2-pyridyl-, -4-pyridyl and -2,6-pyrimidyl)-3H-indazol-3-ones and their related 2-nitrobenzamides are described. The mol. formulas are further confirmed by high-resoln. EIMS matching of mol.-ion peaks.
- IT 175653-49-3, Benzamide, 2-nitro-N-2-pyrimidinyl-
 - RL: PEP (Physical, engineering or chemical process); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)
 - (electron-ionization mass spectrometric studies of dihydropyridyl- and -pyrimidylindazolones and related nitrobenzamides)
- RN 175653-49-3 CAPLUS
- CN Benzamide, 2-nitro-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS

AN 1996:120235 CAPLUS

DN 124:289334

TI Synthetic approaches towards some new 1,2-dihydro-2-(heterocyclyl)-3H-indazol-3-ones

AU Saeed, Aamer; Rama, Nasim H.

CS Dep. of Chemistry, Quaid-i-Azam Univ., Islamabad, Pak.

SO Journal of the Chemical Society of Pakistan (1995), 17(4), 232-6 CODEN: JCSPDF; ISSN: 0253-5106

PB Chemical Society of Pakistan

DT Journal

LA English

AB Two different synthetic approaches viz. reductive cyclization of N-heterocyclyl-2-nitrobenzanilides and the base catalyzed cyclization of 2-azido-N-heterocyclylbenzanilides were applied to the synthesis of some new 2-heterocyclylindazol-3-ones (4). However, both methods exhibited limited success, and, based upon the results of these investigations, a safe strategy involving the heteroarylation at N-2 of 1-carboethoxyindazolone, followed by deprotection at N-1 to furnish 4 was suggested for prepn. of 2-heterocyclylindazolones.

IT 175653-49-3P 175653-50-6P 175653-61-9P

175653-62-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and attempted cyclization of)

RN 175653-49-3 CAPLUS

CN Benzamide, 2-nitro-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

RN 175653-50-6 CAPLUS

CN Benzamide, N-(4,6-dimethyl-2-pyrimidinyl)-2-nitro- (9CI) (CA INDEX NAME)

RN 175653-61-9 CAPLUS

CN Benzamide, 2-azido-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

RN 175653-62-0 CAPLUS

CN Benzamide, 2-azido-N-(4,6-dimethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)

L27 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS

```
1989:423509 CAPLUS
AN
DN
     111:23509
TI
     Substituted 3-(4-nitrophenoxy) pyrazoles, their herbicidal use and
     compositions, and processes and intermediates for their preparation
     Moedritzer, Kurt; Lee, Len Fang; Rogers, Michael David; Anderson, Dennis
TN
     Keith; Singh, Rajendra Kumar; Gaede, Bruce John; Torrence, Lisa Louise
     Monsanto Co., USA
PA
     Eur. Pat. Appl., 338 pp.
SO
     CODEN: EPXXDW
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     English
LA
FAN.CNT 1
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                       KIND
                           DATE
                                             APPLICATION NO.
                                                              DATE
ΡI
     EP 295233
                        A2
                             19881214
                                             EP 1988-870104
                                                               19880607
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                        А3
                             19890315
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                                             US 1988-175461
     US 4855442
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                             19890808
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     US 4948902
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                        B1
                             19920430
                                             PL 1988-279592
                                                              19880607
     PL 156831
                             19920430
                                             PL 1988-279591
                        В1
                                                              19880607
     PL 157154
                        B1
                                             PL 1988-272883
                             19920529
                                                               19880607
     NO 8900595
                        Α
                             19881209
                                             NO 1989-595
                                                               19890210
     NO 170276
                             19920622
     NO 170276
                        С
                             19920930
     NO 8900596
                             19881209
                                             NO 1989-596
                                                               19890210
                        Α
     US 4964895
                             19901023
                                             US 1990-471686
                                                              19900130
PRAI US 1987-59431
                             19870608
     US 1987-59712
                             19870608
     US 1988-175460
                             19880413
     US 1988-175461
                             19880413
     US 1988-175462
                             19880413
     US 1988-175463
                             19880413
     NO 1988-2509
                             19880607
os
     CASREACT 111:23509; MARPAT 111:23509
GI
```

$$R^2$$
 R^3
 R^3

AB Title compds. I [R1 = Me, Et, halomethyl, haloethyl; R2 = Cl, cyano, halomethyl, haloethyl, MeS, EtS, MeS(O), EtS(O), MeS(O)2, EtS(O)2, MeOCH2; R3 = H, halo, NO2; Z = H, substituent of mol. wt. .ltoreq.300] are prepd.

as herbicides. 3-Fluoroacetophenone underwent nitration by fuming HNO3 in the 6-position, followed by condensation with 5-trifluoromethyl-4-chloro-3-hydroxy-1-methylpyrazole to give (trifluoromethyl)chloro(nitrophenoxy)meth ylpyrazole II (Z = Ac). This underwent oximation by NH2OH.HCl, followed by etherification of the oxime with BrCH2CO2Me, to give II (Z = MeOCOCH2ON:CMe) (III). At 11.21 kg/ha postemergence, III gave 100% control of 9/10 tested weeds, including barnyardgrass, velvetleaf, and Pennsylvania smartweed.

IT 121299-66-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as herbicide) RN 121299-66-9 CAPLUS

CN Benzamide, 5-[[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]oxy]-N-(4,6-dimethyl-2-pyrimidinyl)-2-nitro-(9CI) (CA INDEX NAME)

L27 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS

AN 1979:121516 CAPLUS

DN 90:121516

TI Condensation of acetanthranil and phenylanthranil with certain aminoheterocycles. Attempted preparation of some 2,3-disubstituted 4(3H)-quinazolinones

AU El-Zanfally, S.

CS Fac. Pharm., Cairo Univ., Cairo, Egypt

SO Egyptian Journal of Pharmaceutical Sciences (1978), Volume Date 1976, 17(1), 29-34

CODEN: EJPSBZ; ISSN: 0301-5068

DT Journal

LA English

R¹ X

AB Treating 2-methyl-4H-3,1-benzoxazin-4-ones (I; X = O; R = Me; R1 = H, Br) with amines R2NH2 (R2 = 2-pyridyl, 4-antipyrinyl) yielded 35-81% the corresponding quinazolinones (I; X = NR2). The reactions were carried out by fusing the reactants at 150-60.degree. for 3 h or by refluxing in pyridine-dioxane for 2 h. Similar reaction of I (X = O, R = Ph, R1 = H) with R2NH2 (R2 = 2-, 3-, or 4-pyridyl; 2-pyrimidinyl, or 4-antipyrinyl) gave o-R2NHCOC6H4NHCOPh.

IT 69589-68-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 69589-68-0 CAPLUS

CN Benzamide, 2-(benzoylamino)-N-2-pyrimidinyl- (9CI) (CA INDEX NAME)

```
ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS
L35
ΑN
     2002:408645 CAPLUS
     137:6352
DN
     Preparation of benzanilide derivatives as inhibitors of activated blood
TI
     coagulation factor X
     Ishihara, Tsukasa; Hirayama, Fukushi; Sugasawa, Keizo; Koga, Yuji;
IN
     Kadokura, Takeshi; Shigenaga, Takeshi
PA
     Yamanouchi Pharmaceutical Co., Ltd., Japan
     PCT Int. Appl., 59 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     Japanese
LΑ
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO. DATE
ΡĮ
     WO 2002042270
                        A1
                              20020530
                                              WO 2001-JP10176
                                                               20011121
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK,
                                                                         LR,
                                                                             LS,
              LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ,
                                                                         UA. UG.
              US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                                             TR,
     AU 2002024064
                              20020603
                                              AU 2002-24064
                        Α5
                                                                20011121
PRAI JP 2000-356146
                        Α
                              20001122
     JP 2000-390321
                              20001222
     WO 2001-JP10176
                              20011121
     MARPAT 137:6352
os
GΙ
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AΒ

The title compds. I [X1 = CONR5, etc.; X2 = CONR6, etc.; R1 = halo, etc.; R2, R3 = H, halo, CN, etc.; R4 = H, SO3H, etc.; ring A = benzene ring, etc.; ring B = piperidine ring (with substituent on N), etc.; further details on ring B are given; R5, R6 = H, alkyl] are prepd. For example, 2'-(2-acetamido-2-deoxy-.beta.-D-glucopyranosyloxy)-4'-bromo-6'-[(5-chloro-2-pyridyl)carbamoyl]-1-isopropylpiperidine-4-carboxanilide was prepd. and its activity against the activated blood coagulation factor X was demonstrated.

IT 432029-24-8P 432029-41-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Ι

(prepn. of benzanilide derivs. as inhibitors of activated blood coagulation factor X)

RN 432029-24-8 CAPLUS

CN Benzamide, 3-hydroxy-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-N-(5-methyl-2-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 432029-41-9 CAPLUS
CN 4-Piperidinecarboxamide, N-[4-bromo-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-hydroxyphenyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

2002:107335 CAPLUS

ΑN

L35 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
136:151189
     Preparation of pyrazinyl-, pyridazinyl-, pyrimidinyl-, and pyridinyl-hexahydrodiazepines and their use as factor Xa inhibitors
TI
     Herron, David Kent; Joseph, Sajan; Marquart, Angela Lynn; Masters, John Joseph; Mendel, David; Smith, Gerald Floyd; Waid, Philip Parker; Wiley,
IN
      Michael Robert; Yee, Ying Kwong
PA
      Eli Lilly and Company, USA
      PCT Int. Appl., 159 pp.
SO
      CODEN: PIXXD2
DT
      Patent
LA
     English
FAN CNT 1
      PATENT NO.
                          KIND
                                 DATE
                                                    APPLICATION NO. DATE
ΡI
     WO 2002010154
                           A2
                                  20020207
                                                    WO 2001-US16528 20010718
      WO 2002010154
                           A3
                                 20020627
               AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
               GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
               RO, RU, SD, SE, SG, SI, SK, SL,
                                                      TJ, TM, TR, TT, TZ, UA, UG, US,
               UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
               BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2000-221092P
                          P
                                 20000727
os
     MARPAT 136:151189
GI
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AB Substituted hexahydrodiazepines I [R = H, alkyl, acyl, acetyloxy, acetyl, aminoacetyl, alkylamido, etc.; one or two of X, W, Y, and Z equals N and each of the others of X, W, Y and Z is CH; when L = CO or CH2, Q1 = (un)substituted pyridinyl- or phenyl-amidophenylamine, in addn. when L = CO, Q1 may equal Q2X2SO2N(CH2CH2)2N- wherein Q2 = (un)substituted Ph, benzo[b]thiophen-2-yl or naphthalen-2-yl (X2 = direct bond, CH2, ethylene, or ethen-1,2-diyl)], and their pharmaceutically acceptable salts are prepd. and disclosed as factor Xa inhibitors. Thus, II was prepd. by amidation of 2-amino-5-fluoro-N-(5-chloropyridin-2-yl)benzamide with 5-hydroxy-pyrazine-2-carboxylic acid (via its acid chloride) followed by substitution with 1-BOC-hexahydro-1,4-diazepine and subsequent deprotection of the diazepinyl nitrogen. As factor Xa inhibitors, the compds. of the invention are claimed to be useful in the treatment of thromboembolic disorders (no data).

IT 395684-11-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrazinyl-, pyridazinyl-, pyrimidinyl-, and pyridinyl-hexahydrodiazepines as factor Xa inhibitors)

RN 395684-11-4 CAPLUS

2-Pyridinecarboxamide, N-[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-5-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)

L35 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS

AN 2000:769086 CAPLUS

DN 133:335159

TI Preparation of N-pyridinyl-2-[(thienylcarbonyl)amino]benzamides and analogs as anticoagulants

IN Arnaiz, Damian O.; Chou, Yuo-ling; Griedel, Brian D.; Karanjawala, Rushad E.; Kochanny, Monica J.; Lee, Wheeseong; Liang, Amy Mei; Morrissey, Michael M.; Phillips, Gary B.; Sacchi, Karna Lyn; Sakata, Steven T.; Shaw, Kenneth J.; Snider, R. Michael; Wu, Shung C.; Ye, Bin; Zhao, Zuchun

PA Berlex Laboratories, Inc., USA

SO U.S., 113 pp., Cont.-in-part of U.S. Ser. No. 994,284, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	US 6140351	Α	20001031	US 1998-187459	19981105
	CA 2315070	AA	19990701	CA 1998-2315070	19981127

```
WO 1998-EP7650
                                                                19981127
     WO 9932477
                        A1
                              19990701
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
                                                                              TT.
             UA, UG, UZ,
                          VN, YU,
                                   ZW, AM,
                                            AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                              AU 1999-18759
     AU 9918759
                        A1
                              19990712
                                                                19981127
     AU 751856
                        B2
                              20020829
     EP 1040108
                              20001004
                                              EP 1998-963519
                                                                19981127
                        A1
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
         R:
     JP 2001526283
                        Т2
                              20011218
                                              JP 2000-525414
                                                                19981127
     ZA 9811599
                              19990817
                                              ZA 1998-11599
                                                                19981217
                        Α
                                              NO 2000-3111
     NO 2000003111
                              20000818
                                                                20000616
                        Α
     US 6380221
                        B1
                              20020430
                                              US 2000-631450
                                                                20000803
PRAI US 1997-994284
                        В2
                              19971219
     US 1998-187459
                              19981105
                        Α
     WO 1998-EP7650
                              19981127
OS
     MARPAT 133:335159
GI
```

REZDR3 [I; D,E = Z1NR5C(:X), Z1NR5SO0-2, etc.; R,R3 = (un)substituted AΒ heterocyclyl or -aryl; R5 = H, (ar)alkyl, aryl; X = O, S, H2; Z = (un) substituted heterocyclylene or -arylene; Z1 = bond, alkylene, alkylidene, etc.] were prepd. as factor Xa, thrombin, and prothrombinase inhibitors. Thus, H2NZCONHC6H4Cl-4 (Z = 4-chloro-1,2-phenylene) (prepn. given) was N-acylated by 3-chloro-4-chloromethyl-2-thiophenecarbonyl chloride and the product aminated by 1-methylpiperazine to give title compd. II. Data for biol. activity of I were given. ΤТ 229335-90-4P 229336-11-2P 229336-27-0P 229336-52-1P 229336-53-2P 229336-65-6P 229336-95-2P 229337-09-1P 229337-12-6P 229337-14-8P 229337-54-6P 229337-66-0P 229337-74-0P 229338-02-7P 229340-58-3P 229340-88-9P 229341-14-4P 229341-37-1P 229341-88-2P 229342-40-9P 229342-41-0P 229342-43-2P 304021-99-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of N-pyridinyl-2-[(thienylcarbonyl)amino]benzamides and analogs as anticoagulants) RN 229335-90-4 CAPLUS CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(4,5-dihydro-5-methyl-2oxazolyl) methylamino] methyl] - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & O & C1 \\
N & NH-C & C1 \\
N & N-CH_2 & C=O \\
N & O & OMe
\end{array}$$

RN 229336-11-2 CAPLUS CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[methyl[[3-[(methylthio)methyl]-1,2,4-oxadiazol-5-yl]methyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 229336-27-0 CAPLUS
CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[(4-ethyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

RN 229336-52-1 CAPLUS
CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[2-(ethylimino)-5,5-dimethyl3-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{NH} \\ \text{O} \\ \text{C} \\ \text{O} \\ \text{O} \\ \text{O} \\ \text{O} \\ \text{O} \\ \text{NH} \\ \text{C} \\ \text{Me} \\ \\ \text{Me} \\ \end{array}$$

RN 229336-53-2 CAPLUS
CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(5S)-2-imino-5-methyl-3-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229336-65-6 CAPLUS

2-Thiophenecarboxamide, 4-[(2-amino-4,5-dihydro-4-oxo-1H-imidazol-1-yl)methyl]-3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]- (9CI) (CA INDEX NAME) CN

RN

229336-95-2 CAPLUS
2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-CN pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[2-(1-methylethyl)-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

229337-09-1 CAPLUS

RN

CN

2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(4,5-dihydro-1H-imidazol-2-yl)thio]methyl]- (9CI) (CA INDEX NAME)

RN 229337-12-6 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 229337-14-8 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(1-iminoethyl)methylamino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 229337-54-6 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-(4-morpholinyl)phenyl]-4-[[(4,5-dihydro-2-oxazolyl)ethylamino]methyl]- (9CI) (CA INDEX NAME)

RN 229337-66-0 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-[2-(2-methoxyethoxy)ethoxy]phenyl]-4-[[(4,5-dihydro-2-oxazolyl)methylamino]methyl]- (9CI) (CA INDEX NAME)

RN 229337-74-0 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-[[methyl(methylsulfonyl)amino]methyl]- (9CI) (CA INDEX NAME)

C1

N

NH

C=0

$$CH_2-CH_2-CH_2$$
 CH_2-N-Me

O=S-Me

O

RN 229338-02-7 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(2,6-diamino-7H-purin-7-yl)amino]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 229338-01-6 CMF C24 H19 Cl3 N10 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 229340-58-3 CAPLUS

2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[[5-(hydroxymethyl)-1-methyl-1H-imidazol-2-yl]thio]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229337-10-4 CMF C24 H20 Cl3 N5 O4 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 229340-88-9 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(cyanomethyl)methylamino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ NC-CH_2-N-CH_2 & C1 & & \\ \end{array}$$

RN 229341-14-4 CAPLUS

CM 1

CRN 229336-87-2

CMF C24 H17 C13 N8 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 229341-37-1 CAPLUS

CN 2-Thiophenecarboxamide, 4-[[(aminocarbonyl)methylamino]methyl]-3-chloro-N[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 229335-56-2 CMF C21 H18 Cl3 N5 O4 S

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 229341-88-2 CAPLUS

2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2pyridinyl)amino]carbonyl]-6-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4[[methyl(methylsulfonyl)amino]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM

CRN 229337-74-0

CMF C26 H28 C13 N5 O5 S2

C1
$$\begin{array}{c} C1 \\ N \\ NH \\ C \end{array} = 0$$

$$\begin{array}{c} C1 \\ O \\ CH_2 - CH_2 - CH_2 - N \end{array}$$

$$\begin{array}{c} C1 \\ CH_2 - N - Me \\ O = S - Me \\ C = 0 \end{array}$$

CM

CRN 76-05-1 CMF C2 H F3 O2

RN 229342-40-9 CAPLUS

2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[2-(cyanomethyl)-4,5-dihydro-1H-imidazol-1-yl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM

CRN 229336-48-5 CMF C24 H19 Cl3 N6 O3 S

CM

CRN 76-05-1 CMF C2 H F3 O2

RN

229342-41-0 CAPLUS
2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[4,5-dihydro-2-[(2-hydroxyethyl)amino]-1H-imidazol-1-yl]methyl]-, mono(trifluoroacetate)(salt) (9CI) (CA INDEX NAME)

CM

CRN 229336-72-5 CMF C24 H23 Cl3 N6 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

229342-43-2 CAPLUS
2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[2-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX

CM 1

CRN 229336-41-8

CMF C23 H18 C13 N5 O4 S

CM

CRN 76-05-1 CMF C2 H F3 O2

304021-99-6 CAPLUS

2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[(4-methyl-1H-imidazol-1-yl)methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM

CRN 229336-29-2

CMF C23 H18 C13 N5 O3 S

CM 2 CRN 76-05-1 CMF C2 H F3 O2

TT 304022-43-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-pyridinyl-2-[(thienylcarbonyl)amino]benzamides and analogs as anticoagulants)

RN 304022-43-3 CAPLUS

Benzoic acid, 2-hydroxy-, compd. with 3-chloro-N-[4-chloro-2-[[(5-chloro-2pyridinyl) amino] carbonyl] -6-methoxyphenyl] -4-[(methyl-2oxazolylamino)methyl]-2-thiophenecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM

CRN 229335-87-9 CMF C23 H18 C13 N5 O4 S

$$\begin{array}{c|c}
C1 & O & C1 \\
NH & C & C1 \\
NH & C & C & O \\
N & N - CH_2 & C & O \\
\end{array}$$

CM 2

CRN 69-72-7 CMF C7 H6 O3

THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 45 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS

2000:457059 CAPLUS

DN 133:89437

Preparation of heteroaryl-substituted aromatic amides as factor Xa ΤI inhibitors

IN Beight, Douglas Wade; Craft, Trelia Joyce; Denny, Carl Penman; Franciskovich, Jeffry Bernard; Goodson, Theodore, Jr.; Hall, Steven Edward; Herron, David Kent; Joseph, Sajan Pariyadan; Klimkowski, Valentine Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Pineiro-Nunez, Marta Maria; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert; Yee, Ying Kwong

PA Eli Lilly and Co., USA; Kyle, Jeffrey, Alan; et al.

SO PCT Int. Appl., 403 pp.

CODEN: PIXXD2

DT Patent

English LA

FAN. CNT 1

ΡI

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2000039118 A1 20000706 WO 1999-US29946 19991215

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, This app in.

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IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
               MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
               AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
               CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
      EP 1140903
                           A1 20011010
                                                     EP 1999-964279
                                                                         19991215
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO
      JP 2002533454
                            T2
                                  20021008
                                                     JP 2000-591029 19991215
PRAI US 1998-113556P
                            P
                                  19981223
      WO 1999-US29946
                                  19991215
      MARPAT 133:89437
os
GI
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The title compds. [I; A3-A6, together with the two carbons to which they AB are attached, complete a substituted benzene in which A3 = CR3, A4 = CR4, A5 = CR5, and A6 = CR6 (wherein R3 = H, Me, MeO, etc.; one of R4 and R5 =H, alkyl, halo, etc.; the other of R4 and R5 = H; R6 = H, Me, F, etc.); L1 = CONH; Q1 = 2-pyridinyl (un) substituted at the 5-position, 3-pyridinyl (un) substituted at the 6-position, 2-pyrimidinyl (un) substituted at the 5-position, etc.; R2 = L2Q2 (L2 = NHCO, NHCH2, OCH2, etc.; Q2 = (un) substituted piperidinyl, piperazinyl, Ph, etc.)] and their pharmaceutically acceptable salts, useful as inhibitors of factor Xa (no data), were prepd. and formulated. E.g., a multi-step synthesis of II.HCl was given. In general, compds. I are effective at 0.01-1000 mg/kg/day. IT 280769-11-1P 280770-93-6P 280771-49-5P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

II

(prepn. of heteroaryl-substituted arom. amides as factor Xa inhibitors) 280769-11-1 CAPLUS CN

Benzamide, N-(5-chloro-2-pyridinyl)-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

RN 280770-93-6 CAPLUS

Benzamide, 5-chloro-N-(5-fluoro-2-pyridinyl)-2-[(4piperidinylmethyl)amino] - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N \\ \parallel & \parallel \\ R - C - NH - \end{array} \begin{array}{c} F \end{array}$$

RN 280771-49-5 CAPLUS

CN Benzoic acid, 3-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

IT 280768-73-2P 280769-17-7P 280769-27-9P

280769-50-8P 280769-70-2P 280769-89-3P

280769-95-1P 280770-08-3P 280770-34-5P

280770-82-3P 280771-00-8P 280771-35-9P

280771-39-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heteroaryl-substituted arom. amides as factor Xa inhibitors)

RN 280768-73-2 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]pheny l]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 280769-17-7 CAPLUS

CN 4-Piperidinecarboxamide, N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]-1-(1-ethylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-50-8 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(4-pyridinylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 280769-70-2 CAPLUS

CN Benzamide, 5-chloro-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 280769-89-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(3-thienylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280769-95-1 CAPLUS

Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} & & & \\ & & & \\ NH-CH_2 & & \\ C-NH-N & & \\ 0 & & \\ \end{array}$$

RN

280770-08-3 CAPLUS
Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(3-furanylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME) CN

$$\begin{array}{c|c} CH_2 \\ CH_2 \\ NH \\ CH_2 \\ NH \\ O \end{array}$$

RN 280770-34-5 CAPLUS

Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(3-fluorophenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

RN 280770-82-3 CAPLUS

CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-cyclohexyl-4-piperidinyl)methyl]amino)-5-methyl- (9CI) (CA INDEX NAME)

RN 280771-00-8 CAPLUS

CN 1-Piperidinepropanamide, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

C1
$$R$$
 $CH_2-CH_2-CH_2-C-NH_2$

RN 280771-35-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4[(1E)-2-cyanoethenyl]phenyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280771-39-3 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-(hydroxymethyl)phenyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

IT 280771-90-6P 280773-87-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of heteroaryl-substituted arom. amides as factor Xa inhibitors)
RN 280771-90-6 CAPLUS
CN 4-Piperidinecarboxamide, N-{2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 280771-89-3
CMF C18 H18 C1 F N4 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 280773-87-7 CAPLUS

1-Piperidinecarboxylic acid, 4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-(methylthio)phenyl]amino]carbonyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS

AN 1999:421679 CAPLUS

DN 131:87925

TI Preparation of heteroarylcarbonylaminobenzamides and related compounds as anticoagulants.

IN Arnaiz, Damian O.; Chou, Yuo-Ling; Karanjawala, Rushad E.; Kochanny, Monica J.; Lee, Wheeseong; Liang, Amy Mei; Morrissey, Michael M.; Phillips, Gary B.; Sacchi, Karna Lyn; Sakata, Stephen T.; Shaw, Kenneth J.; Snider, R. Michael; Wu, Shung C.; Ye, Bin; Zhao, Zuchun; Griedel, Brian D.

PA Schering Aktiengesellschaft, Germany

SO PCT Int. Appl., 326 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 9932477 Al 19990701 WO 1998-EP7650 19981127

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

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             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 6140351
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                                            US 1998-187459
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     CA 2315070
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                       A1
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     EP 1040108
                       A1
                            20001004
                                            EP 1998-963519
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             IE, FI
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                       Α
                            20000818
                                            NO 2000-3111
                                                             20000616
PRAI US 1997-994284
                            19971219
     US 1998-187459
                       Α
                            19981105
     WO 1998-EP7650
                            19981127
     MARPAT 131:87925
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Title compds. [I; m = 1-3; n = 1-5; B, Q = atoms to form aryl,
     heterocyclyl rings; D, E = NR5CX; R8NR5CX, NR5SOp, etc.; p = 0-2; X = 0,
     S, H2; R1 = H, alkyl, aryl, aralkyl, halo, haloalkyl, cyano, OR5, CO2R5,
     NR5R6, CONR5R6 (substituted) heterocyclyl, etc.; R2 = H, alkyl, aryl,
     aralkyl, halo, haloalkyl, cyano, OR5, CO2R5, CONR5R6, etc.; R3 =
(substituted) heterocyclyl, aryl; R4 = H, alkyl, halo, haloalkyl, cyano,
     NO2, OR5, CO2R5, NR5R6, etc.; R5, R6 = H, alkyl, aryl, aralkyl; R8 = alkylene, alkenylene, alkynylene], were prepd. Thus, N-(4-chlorophenyl)-2-
      [[(4-chloromethyl)-3-chlorothiophen-2-ylcarbonyl]amino]-3-methoxy-5-
     chlorobenzamide in DMF at 0.degree. was treated with N-methylpiperazine
     followed by stirring to room temp. to give N-(4-chlorophenyl)-2-[[4-[(4-
     methylpiperazin-1-yl)methyl]-3-chlorothiophen-2-yl]carbonyl]amino]-3-
     methoxy-5-chlorobenzamide. Title compds. routinely inhibited Factor Xa with Ki<3 nM. An aerosol formulation is given.
IT
     229335-90-4P 229336-11-2P 229336-27-0P
     229336-52-1P 229336-53-2P 229336-65-6P
     229336-95-2P 229337-09-1P 229337-12-6P
     229337-14-8P 229337-54-6P 229337-66-0P 229337-74-0P 229338-02-7P 229340-58-3P
     229340-71-0P 229340-88-9P 229341-14-4P
     229341-37-1P 229341-88-2P 229342-40-9P
     229342-41-0P 229342-43-2P 229483-62-9P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (prepn. of heteroarylcarbonylaminobenzamides and related compds. as
         anticoagulants)
     229335-90-4 CAPLUS
RN
CN
     2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-
```

2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(4,5-dihydro-5-methyl-2-oxazolyl)methylamino]methyl]- (9CI) (CA INDEX NAME)

RN 229336-11-2 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[methyl[[3-[(methylthio)methyl]-1,2,4-oxadiazol-5-yl]methyl]amino]methyl]- (9CI) (CA INDEX NAME)

RN 229336-27-0 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[(4-ethyl-1piperazinyl)methyl]- (9CI) (CA INDEX NAME)

RN 229336-52-1 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[2-(ethylimino)-5,5-dimethyl-3-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 229336-53-2 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(5S)-2-imino-5-methyl-3-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 229336-65-6 CAPLUS

CN 2-Thiophenecarboxamide, 4-[(2-amino-4,5-dihydro-4-oxo-1H-imidazol-1-yl)methyl]-3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 229336-95-2 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[2-(1-methylethyl)-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

RN 229337-09-1 CAPLUS CN 2-Thiophenecarboxam

2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(4,5-dihydro-1H-imidazol-2-yl)thio]methyl]- (9CI) (CA INDEX NAME)

09857751

RN 229337-12-6 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

RN 229337-14-8 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(1-iminoethyl)methylamino]methyl]- (9CI) (CA INDEX NAME)

RN 229337-54-6 CAPLUS

2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-(4-morpholinyl)phenyl]-4-[[(4,5-dihydro-2-oxazolyl)ethylamino]methyl]- (9CI) (CA INDEX NAME)

RN 229337-66-0 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-[2-(2-methoxyethoxy)ethoxy]phenyl]-4-[[(4,5-dihydro-2-oxazolyl)methylamino]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
C1 & O & C1 \\
N & NH-C & C1 \\
N & N-CH_2 & C-NH-C & C1 \\
O-CH_2-CH_2-O-CH_2-CH_2-OMe
\end{array}$$

RN 229337-74-0 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-[[methyl(methylsulfonyl)amino]methyl]- (9CI) (CA INDEX NAME)

RN 229338-02-7 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(2,6-diamino-7H-purin-7-yl)amino]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 229338-01-6 CMF C24 H19 Cl3 N10 O3 S

CM

CRN 76-05-1 CMF C2 H F3 O2

RN 229340-58-3 CAPLUS

229340-58-3 CAPLOS
2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[[5-(hydroxymethyl)-1-methyl-1H-imidazol-2-yl]thio]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229337-10-4 CMF C24 H20 Cl3 N5 O4 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 229340-71-0 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(4,5-dihydro-2-oxazolyl)thio]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME) CM 1

CRN 229340-70-9 CMF C22 H17 Cl3 N4 O4 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 229340-88-9 CAPLUS

CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[(cyanomethyl)methylamino]methyl]- (9CI) (CA INDEX NAME)

RN 229341-14-4 CAPLUS CN 2-Thiophenecarboxami

2-Thiophenecarboxamide, 4-[(6-amino-7H-purin-7-yl)methyl]-3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 229336-87-2

CMF C24 H17 C13 N8 O3 S

09857751

$$\begin{array}{c|c} C1 & 0 & C1 \\ N & NH-C & C1 \\ NH-C & C & OMe \\ NH_2 & C & OMe \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 229341-37-1 CAPLUS

2-Thiophenecarboxamide, 4-[[(aminocarbonyl)methylamino]methyl]-3-chloro-N[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 229335-56-2

CMF C21 H18 Cl3 N5 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 229341-88-2 CAPLUS

2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-[[methyl(methylsulfonyl)amino]methyl]-, mono(trifluoroacetate) (9CI) (CAINDEX NAME)

CM :

CRN 229337-74-0

CMF C26 H28 C13 N5 O5 S2

09857751

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 229342-40-9 CAPLUS
CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[2-(cyanomethyl)-4,5-dihydro-1H-imidazol-1-yl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 229336-48-5 CMF C24 H19 Cl3 N6 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 229342-41-0 CAPLUS
CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[4,5-dihydro-2-[(2-hydroxyethyl)amino]-1H-imidazol-1-yl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 229336-72-5 CMF C24 H23 C13 N6 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 229342-43-2 CAPLUS
CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[2-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX

CM 1

CRN 229336-41-8 CMF C23 H18 C13 N5 O4 S

CRN 76-05-1 CMF C2 H F3 O2

RN 229483-62-9 CAPLUS
CN 2-Thiophenecarboxamide, 3-chloro-N-[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-6-methoxyphenyl]-4-[[4(or 5)-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM I

CRN 229483-61-8 CMF C23 H18 C13 N5 O4 S CCI IDS

D1-СH2-ОН

CM 2

CRN 76-05-1 CMF C2 H F3 O2

=> d his

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L10
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L39 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS
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AN
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     137:63257
     Preparation of benzamides as inhibitors of production and release of
     inflammatory cytokines
TN
     Muto, Susumu; Nagano, Tatsuo; Saotome, Tomomi; Itai, Akiko
PA
     Institute of Medicinal Molecular Design Inc., Japan
so
     PCT Int. Appl., 313 pp.
     CODEN: PIXXD2
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GI
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AB The title compds. I (wherein x is a connecting group; A is hydrogen or acetyl; E is aryl or heteroaryl; and Z is arene or heteroarene) are prepd. In an in vitro test using cells, $\hbox{5-chloro-2-hydroxy-N-(4-methoxynaphthalen-2-yl)} \ benzamide \ \hbox{at 1 .mu.g/mL}$ gave 95.1% inhibition of NF-.kappa.B activation. 439144-13-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of benzamides as inhibitors of prodn. and release of inflammatory cytokines) RN 439144-13-5 CAPLUS Benzamide, 5-chloro-N-(4-chloro-6-methoxy-2-pyrimidinyl)-2-hydroxy- (9CI) CN (CA INDEX NAME)

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT